

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
2 October 2003 (02.10.2003)

PCT

(10) International Publication Number  
WO 03/080560 A1(51) International Patent Classification<sup>7</sup>: C07C 213/02,  
215/42

(21) International Application Number: PCT/IN02/00131

(22) International Filing Date: 13 June 2002 (13.06.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
208/mas/2002 26 March 2002 (26.03.2002) IN(71) Applicant (for all designated States except US): GLOBAL  
BULK DRUGS & FINE CHEMICALS PVT. LTD.  
[IN/IN]; digwal Village, Kohir Mandal, Medak District,  
PIN, Andhra Pradesh 502 321 (IN).

(72) Inventors; and

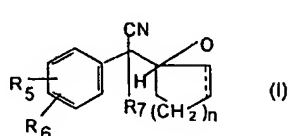
(75) Inventors/Applicants (for US only): SAIGAL, Jagdish,  
Chand [IN/IN]; Sejal CHSL, Apt N° 304, Vira Desai Ngr.  
Near Link Road, Nr. Indian Oil Ngr., Andheri (West),  
Mumbai, Maharashtra, 400 058 (IN). GUPTA, Rajender,  
Pershad [IN/IN]; Row House n° 5, Hill Garden, Opp.  
Tickujiniwadi, Manapada, Thane, Maharashtra 400 607  
(IN). PANDIT, Vilas, Vasant [IN/IN]; A-3 Santoor,  
Pandurangwadi, Road n° 4, Goregaon (East), Mumbai,  
Maharashtra 400 063 (IN). DESAI, Anand, Jagan-  
nath [IN/IN]; B/8 Neelkanth Chaya, RB Mehta Road,Ghatkopar (East), Mumbai, Maharashtra 400 077 (IN).  
MEHTA, Navneet, Vinodrai [IN/IN]; 20 C J New Kapole  
Nivas, Haveli Compound M G Road, Ghatkopar (East)  
Mumbai, Maharashtra 400 077 (IN). RANE, Shrikant,  
Hambirrao [IN/IN]; A/204, Ratnasagar CSHL, Near  
Sudama Soc., Kalwa (West), Thane, Maharashtra 400 605  
(IN).(74) Agents: MAJUMDAR, Subhatosh et al.; S. Majumdar &  
Co., 5, Harish Mukherjee Road, Calcutta 700 025 (IN).(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG,  
SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,  
VN, YU, ZA, ZM, ZW.(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent  
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG).

Published:

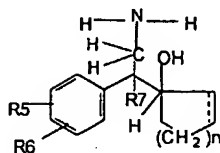
- with international search report
- with amended claims and statement

[Continued on next page]

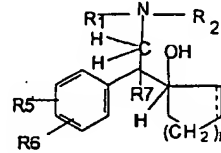
(54) Title: MANUFACTURE OF PHENYL ETHYLAMINE COMPOUNDS, IN PARTICULAR VENLAFAXINE



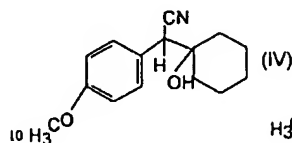
(I)



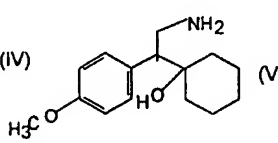
(II)



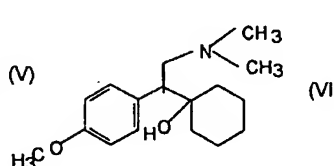
(III)



(IV)



(V)



(VI)

(57) Abstract: A process for the preparation of hydroxy (cycloalkane/cycloketene) phenylethyl amine of the general formula (III) comprising alkylation of its precursor amine of general formula (II) which is in turn produced by an effective reduction process from its precursor cyanide having the general formula (I) using Raney Nickel (CORMIII) as catalyst where, either of R5 and R6 independently could be in meta or para position and R5, R6 are independently hydrogen, hydroxyl, alkyl, alkoxy, alkanoyloxy, cyano, nitro, alkylmercapto, amino, alkylamino, alkanamido, halo, trifluoromethyl, or taken together methylenedioxy, n is 0, 1, 2, 3, 4; R7 is hydrogen or alkyl of 1-7 carbon atom, R1 H or alkyl of 1-3 carbon atom and R2 is alkyl of 1-3 carbon atom, the dotted line represents optional unsaturation. Compounds of formulae IV, V and VI are respectively derivatives of compounds I, II and III respectively.

WO 03/080560 A1

"EXPRESS MAIL" LABEL NO.: EN6022 92248US  
I HEREBY CERTIFY THAT THIS PAPER IS BEING DEPOSITED WITH THE  
UNITED STATES POSTAL SERVICE "EXPRESS MAIL" POST OFFICE TO  
ADDRESSEE SERVICE UNDER 37 CFR 1.101 IN AN ENVELOPE ADDRESSED  
TO: THE COMMISSIONER OF PATENTS, P.O. BOX 1450, ALEXANDRIA, VA  
22313-1450, ON THIS DATE. THE COMMISSIONER IS HEREBY AUTHORIZED  
TO CHARGE ANY FEES ARISING HEREFROM AT ANY TIME TO DEPOSIT  
ACCOUNT 18-0377.

9.24.04  
DATE  
SIGNATURE